

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L2	1439	544/292, 544/283, 544/284	US-PGPUB; USPAT	OR	OFF	2005/12/07 16:26
L3	437	544/283	US-PGPUB; USPAT	OR	OFF	2005/12/07 16:26



PALM INTRANET

Day : Wednesday

Date: 12/7/2005

Time: 16:22:41

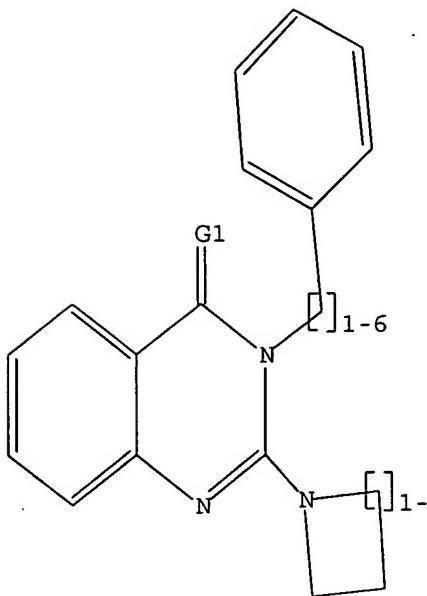
Inventor Information for 10/809635

Inventor Name	City	State/Country
FENG, JUN	CARLSBAD	CALIFORNIA
GWALTNEY, STEPHEN L.	SAN DIEGO	CALIFORNIA
KALDOR, STEPHEN W.	SAN DIEGO	CALIFORNIA
STAFFORD, JEFFREY A.	SAN DIEGO	CALIFORNIA
WALLACE, MICHAEL B.	SAN DIEGO	CALIFORNIA
ZHANG, ZHIYUAN	SAN DIEGO	CALIFORNIA

Search Another: Application# or Patent#
 PCT / / or PG PUBS #
 Attorney Docket #
 Bar Code #

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G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 10:23:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      30 TO ITERATE

100.0% PROCESSED      30 ITERATIONS          7 ANSWERS
SEARCH TIME: 00.00.01
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BATCH    **COMPLETE**
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COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	161.33	161.54

FILE 'CAPLUS' ENTERED AT 10:24:05 ON 07 DEC 2005
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FILE LAST UPDATED: 6 Dec 2005 (20051206/ED)

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L4          5 L3
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L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:490293 CAPLUS
DOCUMENT NUMBER: 143:43903

TITLE: Preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation
INVENTOR(S): Boyce, Rustum S.; Speake, Jason D.; Phillips, James
PATENT ASSIGNEE(S): Chiron Corporation, USA; GlaxoSmithKline
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXDZ

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

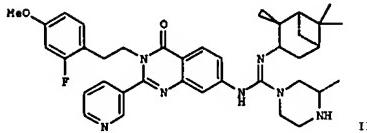
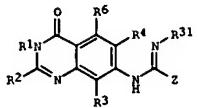
PATENT INFORMATION:

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WO 2005051391	A1	20050609	WO 2004-US39020	20041119
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, T2, UG, ZH, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AI, BE, BG, CH, CT, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
US 2005192297	A1	20050901	US 2004-993147	20041119
PRIORITY APPLN. INFO.:			US 2003-52336P	P 20031119
			US 2003-524492P	P 20031124

OTHER SOURCE(S): HARPAT 143:43903

GI

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. [I; R1 = (substituted) aralkyl, heteroarylalkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl, R2 = H, (substituted) aralkyl-heteroarylalkyl, alkoxy, alkylamino, dialkylamino, aryl, heteroaryl, heterocyclic, cycloalkyl, heterocycloalkyl, cycloalkylalkyl, alkenyl, alkynyl, alkyl; R3, R4, R6 = H, Cl, F, Br, Iodo, OH, NH2, cyano, NO2, (substituted) alkoxy, alkyl; R31 = H, (substituted) alkyl, aryl, alkenyl, alkynyl, cycloalkyl, heteroaryl, heterocycloalkyl, aralkyl, heteroarylalkyl, cycloalkylalkyl, 3-(substituted) 3-oxopiperazinyl] and tautomers], were prepared. Thus, title compound [II] (preparation via coupling of 6-methylpiperazin-2-one with the corresponding quinazolinylthiourea derivative

in the presence of polymer-supported carbodiimide) showed a plasma half-life of 1.9 h in mice.

IT 817626-63-4 817627-21-7P 817627-22-8P
817627-28-4P 817627-29-5P 817627-30-8P
817627-35-3P 817627-36-4P 817627-41-1P
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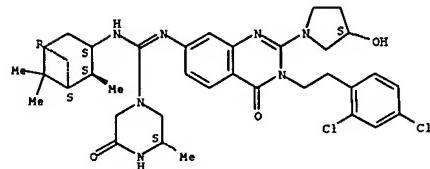
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperazinylguanidinoquinazolinones as melanocortin-4 receptor (MCR-4) agonists with reduced bioaccumulation)

RN 817626-63-4 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(3S)-3-hydroxy-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

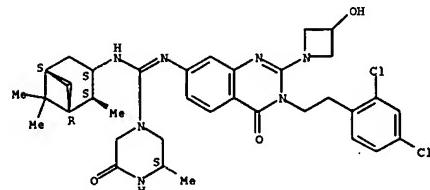
Absolute stereochemistry.

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



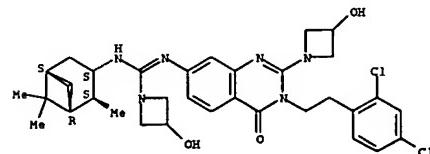
RN 817627-21-7 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(3-hydroxy-1-azetidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-22-8 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(3-hydroxy-1-azetidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

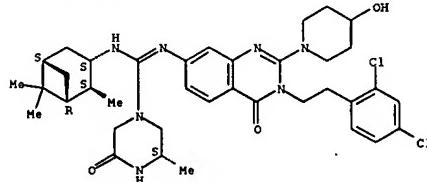


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L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

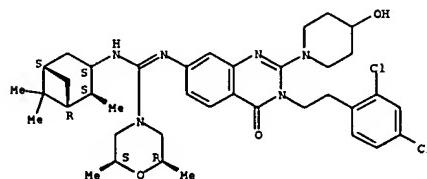
RN 817627-28-4 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-29-5 CAPLUS
CN 4-Morpholinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-2,6-dimethyl-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (2R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

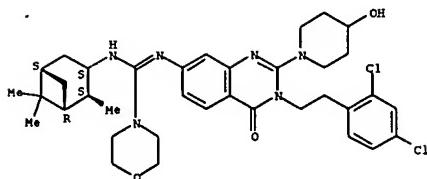


RN 817627-30-8 CAPLUS
CN 4-Morpholinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

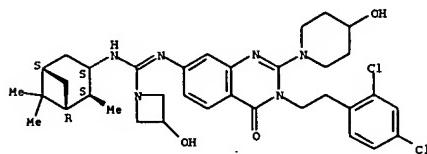
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L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-35-3 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

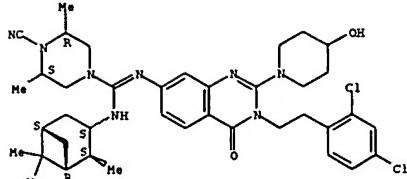
Absolute stereochemistry.



RN 817627-36-4 CAPLUS
CN 1-Piperazinecarboximidamide, 4-cyano-N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3,5-dimethyl-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3R,5S)- (9CI) (CA INDEX NAME)

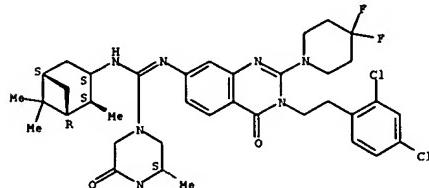
Absolute stereochemistry.

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-41-1 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

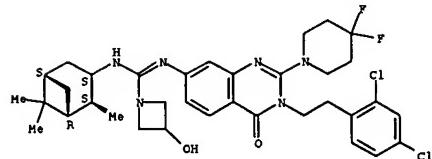
Absolute stereochemistry.



RN 817627-42-2 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-hydroxy-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

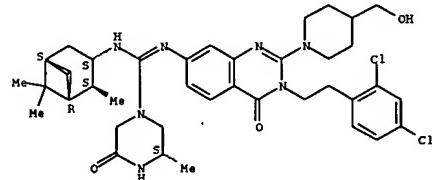
Absolute stereochemistry.

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



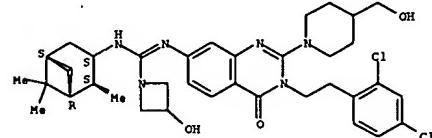
RN 817627-43-3 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxymethyl)-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-44-4 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxymethyl)-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

<12/07/2005>

Habte

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:1156498 CAPLUS

DOCUMENT NUMBER: 142:93848

TITLE: Preparation of guanidine-substituted quinazolinone compounds as MC4-R agonists

INVENTOR(S): Boyce, Rustum S.; Aurrecochea, Natalia; Chu, Daniel; Smith, Aaron; Conlee, Christopher R.; Thompson, Brian D.; De Armas, Muntz Judith; Musso, David L.; Barvian, Kevin K.; Thomson, Stephen A.; Swain, William R.; Du, Klein S.; Chauder, Brian A.; Speake, Jason D.; Bishop, Michael J.

PATENT ASSIGNEE(S): Chiron Corporation, USA; GlaxoSmithKline
SOURCE: PCT Int. Appl., 277 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE: English

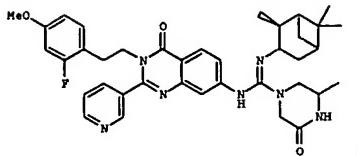
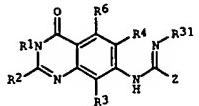
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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RW: BE, BG, GM, KE, LS, MV, MZ, NA, SD, SL, SZ, T2, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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PRIORITY APPLN. INFO.:			US 2003-473317P	P 20030523
			US 2003-523336P	P 20031119
			US 2003-524492P	P 20031124

OTHER SOURCE(S): MARPAT 142:93848
GI

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



AB A variety of small mol., guanidine-containing mols. capable of acting as MC4-R agonists such as I-III [21 = CR4, N1 = CR5, N2 = CR6, N3 = RI = (un)substituted alkyl, aryl, heterocaryalkyl, aryl, heteroaryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, alkylalkyl, aryl, etc.; R4-R6 = H, Cl, I, F, Br, OH, etc.; V = IV (wherein R11, R12 = H, (un)substituted alkyl, aryl, etc.; at least one of R11 and R12 is (un)substituted heterocyclyalkyl); R13 = H, (un)substituted aryl, alkyl, etc.; R14 = H, (un)substituted alkyl, cycloalkyl, etc.)] are provided. General procedures used in the synthesis of compds. I-III are described. E.g., a multi-step synthesis of (1S,2S,3S,5R)-V, was given. The exemplified compds. I-III were tested against MC4-R and exhibited -logEC50 values above about 3. The compds. I are useful in treating MC4-R mediated diseases such as obesity and type II diabetes. The pharmaceutical composition comprising the compound I is disclosed.

IT 817626-63-4P 817627-21-7P 817627-22-8P

817627-28-4P 817627-29-5P 817627-30-8P

817627-35-3P 817627-36-4P 817627-41-1P

817627-42-2P 817627-43-3P 817627-44-4P

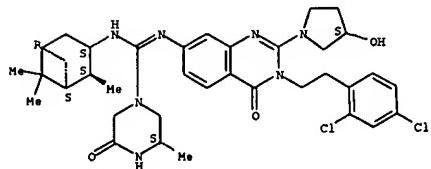
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of guanidine-substituted quinazolinone compds. as MC4-R agonists)

RN 817626-63-4 CAPLUS

CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(3-hydroxy-1-azetidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

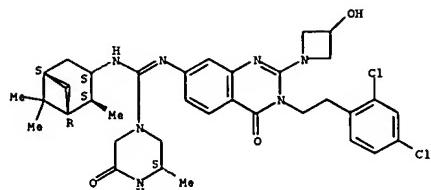
Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



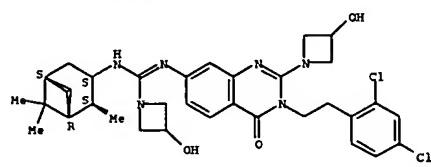
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CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(3-hydroxy-1-azetidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-22-8 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(3-hydroxy-1-azetidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

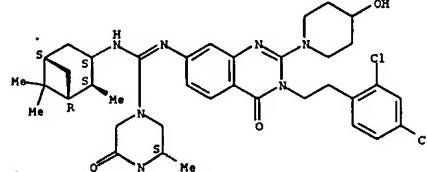


L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 817627-28-4 CAPLUS

CN 1-Piperazinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

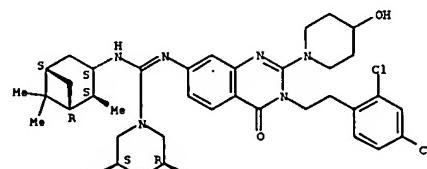
Absolute stereochemistry.



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CN 4-Morpholinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-2,6-dimethyl-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (2R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

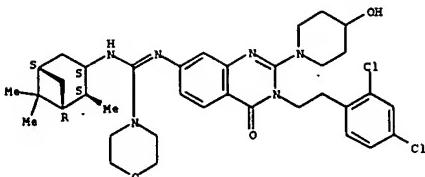


RN 817627-30-8 CAPLUS

CN 4-Morpholinecarboximidamide, N-[3-[2-(2,4-dichlorophenyl)ethyl]-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

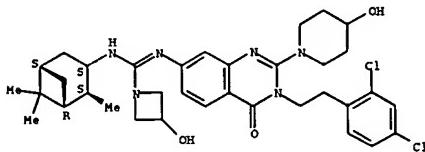
Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-35-3 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl}-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3-hydroxy-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

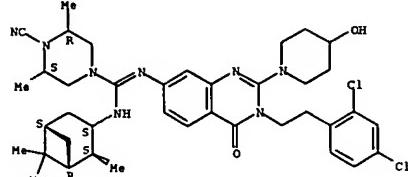
Absolute stereochemistry.



RN 817627-36-4 CAPLUS
CN 1-Piperazinecarboximidamide, 4-cyano-N-[3-{2-(2,4-dichlorophenyl)ethyl}-3,4-dihydro-2-(4-hydroxy-1-piperidinyl)-4-oxo-7-quinazolinyl]-3,5-dimethyl-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3R,5S)- (9CI) (CA INDEX NAME)

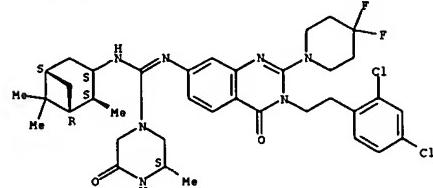
Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 817627-41-1 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl}-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

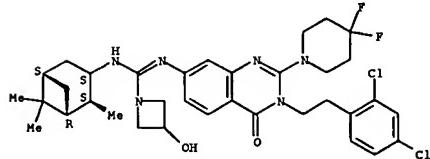
Absolute stereochemistry.



RN 817627-42-2 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl}-2-(4,4-difluoro-1-piperidinyl)-3,4-dihydro-4-oxo-7-quinazolinyl]-3-hydroxy-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

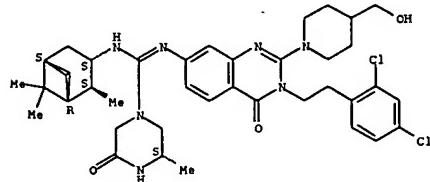
Absolute stereochemistry.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



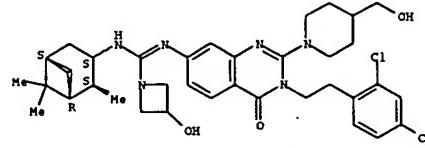
RN 817627-43-3 CAPLUS
CN 1-Piperazinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl}-3,4-dihydro-2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-methyl-5-oxo-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 817627-44-4 CAPLUS
CN 1-Azetidinecarboximidamide, N-[3-{2-(2,4-dichlorophenyl)ethyl}-3,4-dihydro-2-[4-(hydroxymethyl)-1-piperidinyl]-4-oxo-7-quinazolinyl]-3-hydroxy-N'-(1R,2S,3S,5S)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

<12/07/2005>

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L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:857326 CAPLUS

DOCUMENT NUMBER: 141:309639

TITLE: Dipeptidyl peptidase inhibitors

INVENTOR(S): Feng Jun; Gwaltney, Stephen L.; Kaldor, Stephen V.; Stafford, Jeffrey A.; Wallace, Michael B.; Zhang, Zhiyan

PATENT ASSIGNEE(S): Syrris Inc., USA

SOURCE: PCT Int. Appl., 244 pp.

CODEN: PIXXDZ

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

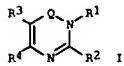
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087053	A2	20041014	WO 2004-US9217	20040324
WO 2004087053	C2	20041111		
V:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OH, PG, PL, PT, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZF, ZW, GH, GR, KS, LS, MV, MZ, ND, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004-242563	A1	20041202	US 2004-809636	20040324
US 2004-242566	A1	20041202	US 2004-US9217	20040324
US 2004-259670	A1	20041223	US 2004-809637	20040324
US 2005004117	A1	20050106	US 2004-US9217	20040324

PRIORITY APPLN. INFO.: HARPAT 141:309639

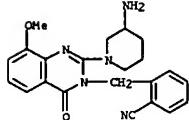
OTHER SOURCE(S):

GI

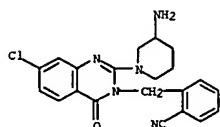


AB Dipeptidyl peptidase IV inhibitors I [Q = CO, SO, SO₂, C:NR₅; R₁ = ZR₆; Z = moiety providing 1-6 atom separation between R₆ and ring; R₂ = (substituted)3-7-membered ring; R₃,R₄ = taken together form a (substituted)5-6-membered ring; R₅ = H, (substituted)alkyl, cycloalkyl, etc., R₆ = (substituted)C3-7-cycloalkyl or aryl] are disclosed. Thus, 2-[2-(3-amino-1-piperidinyl)-6,7-dimethoxy-4-oxo-4H-quinazolin-3-ylmethyl]benzonitrile (I; R₁ = 2-cyano-phenylmethyl; R₂ = 3-amino-1-piperidinyl; R₃,R₄ = dimethoxyphenyl) was synthesized. This

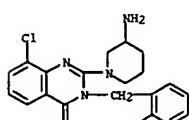
L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



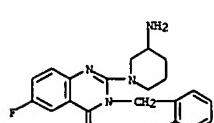
RN 769157-57-5 CAPLUS
CN Benzonitrile, 2-[(2-(3-amino-1-piperidinyl)-7-chloro-4-oxo-3(4H)-quinazolinyl)methyl] - (9CI) (CA INDEX NAME)



RN 769157-58-6 CAPLUS
CN Benzonitrile, 2-[(2-(3-amino-1-piperidinyl)-8-chloro-4-oxo-3(4H)-quinazolinyl)methyl] - (9CI) (CA INDEX NAME)



RN 769157-59-7 CAPLUS
CN Benzonitrile, 2-[(2-(3-amino-1-piperidinyl)-6-fluoro-4-oxo-3(4H)-quinazolinyl)methyl] - (9CI) (CA INDEX NAME)



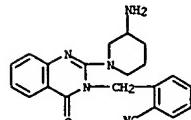
<12/07/2005>

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
compd. exhibited enhanced stability in rat liver microsomes.

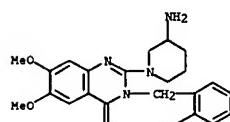
IT 769157-54-2P 769157-55-3P 769157-56-4P
769157-57-5P 769157-58-6P 769157-59-7P
769157-63-3P 769157-65-5P 769157-71-3P
769157-81-5P 769157-89-3P 769157-91-7P
769157-92-8P 769157-93-9P 769157-94-0P
769157-95-1P 769158-01-2P 769158-02-3P
769158-03-4P 769158-04-5P 769158-05-6P
769158-06-7P 769158-14-7P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
BIOL (Biological study); PREP (Preparation)
(dipeptidyl peptidase inhibitors)

RN 769157-54-2 CAPLUS
CN Benzonitrile, 2-[(2-(3-amino-1-piperidinyl)-4-oxo-3(4H)-quinazolinyl)methyl] - (9CI) (CA INDEX NAME)



RN 769157-55-3 CAPLUS
CN Benzonitrile, 2-[(2-(3-amino-1-piperidinyl)-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl)methyl] - (9CI) (CA INDEX NAME)

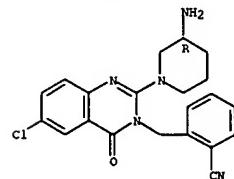


RN 769157-56-4 CAPLUS
CN Benzonitrile, 2-[(2-(3-amino-1-piperidinyl)-8-methoxy-4-oxo-3(4H)-quinazolinyl)methyl] - (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 769157-63-3 CAPLUS
CN Benzonitrile, 2-[(2-(3R)-3-amino-1-piperidinyl)-6-chloro-4-oxo-3(4H)-quinazolinyl]methyl - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

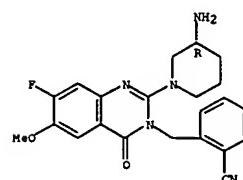


RN 769157-65-5 CAPLUS
CN Benzonitrile, 2-[(2-(3R)-3-amino-1-piperidinyl)-7-fluoro-6-methoxy-4-oxo-3(4H)-quinazolinyl]methyl - (9CI) (CA INDEX NAME)

CM 1

CRN 769157-64-4
CMF C22 H22 F N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

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L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

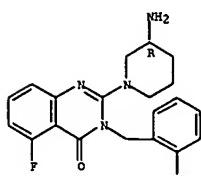


RN 769157-71-3 CAPLUS
 CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-5-fluoro-4-oxo-3(4H)-quinazolinyl)methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 769157-70-2
 CMF C21 H20 F N5 O

Absolute stereochemistry.



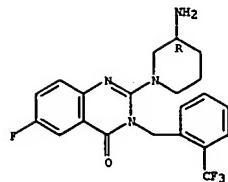
CM 2

CRN 76-05-1
 CMF C2 H F3 O2

RN 769157-81-5 CAPLUS
 CN 4(3H)-Quinazolinone, 2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-3-[(2-(trifluoromethyl)phenyl)methyl]- (9CI) (CA INDEX NAME)

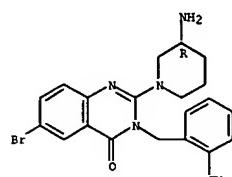
Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 769157-89-3 CAPLUS
 CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6-bromo-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



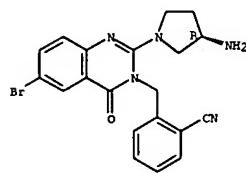
RN 769157-91-7 CAPLUS
 CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-pyrrolidinyl]-6-bromo-4-oxo-3(4H)-quinazolinyl)methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 769157-90-6
 CMF C20 H18 Br N5 O

Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

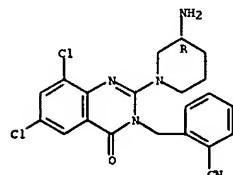


CM 2

CRN 76-05-1
 CMF C2 H F3 O2

RN 769157-92-8 CAPLUS
 CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6,8-dichloro-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

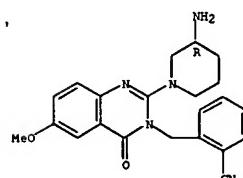
Absolute stereochemistry.



RN 769157-93-9 CAPLUS
 CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6-methoxy-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

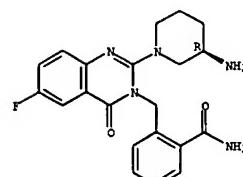
Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



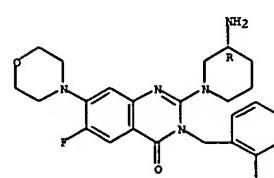
RN 769157-94-0 CAPLUS
 CN Benzamide, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 769157-95-1 CAPLUS
 CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-7-(4-morpholinyl)-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

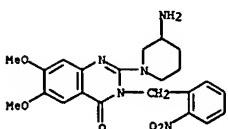
Absolute stereochemistry.



RN 769158-01-2 CAPLUS

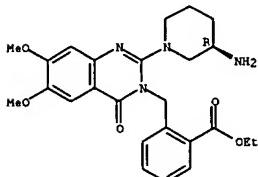
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L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 4-(3H)-Quinazolinone, 2-[3-amino-1-piperidinyl]-6,7-dimethoxy-3-[(2-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 769158-02-3 CAPLUS
 CN Benzoic acid, 2-[(3R)-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl[methyl]-, ethyl ester (9CI) (CA INDEX NAME)

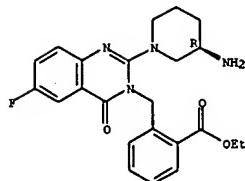
Absolute stereochemistry.



RN 769158-03-4 CAPLUS
 CN Benzoic acid, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

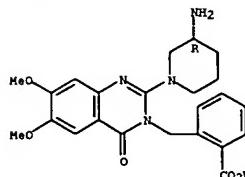
Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 769158-04-5 CAPLUS
 CN Benzoic acid, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6,7-dimethoxy-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

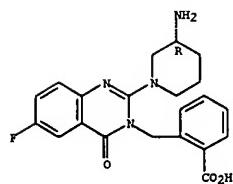
Absolute stereochemistry.



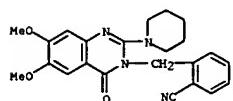
RN 769158-05-6 CAPLUS
 CN Benzoic acid, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

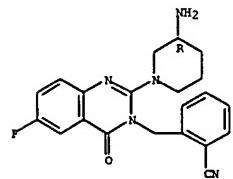


RN 769158-06-7 CAPLUS
 CN Benzonitrile, 2-[(6,7-dimethoxy-4-oxo-2-(1-piperidinyl)-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



RN 769158-14-7 CAPLUS
 CN Benzonitrile, 2-[(2-[(3R)-3-amino-1-piperidinyl]-6-fluoro-4-oxo-3(4H)-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)

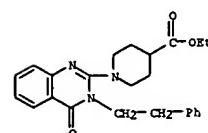
Absolute stereochemistry.



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:211993 CAPLUS
 DOCUMENT NUMBER: 140:264510
 TITLE: 4-Oxo-quinazoline agonist ligands for the liver X nuclear receptor and their use in treatment of disorders of lipid metabolism
 INVENTOR(S): Kober, Ingo; Albers, Michael; Koegl, Manfred; Blume, Beatrix; Deuschle, Ulrich; Kremsner, Claus
 PATENT ASSIGNEE(S): Phenex Pharmaceuticals A.-G., Germany
 SOURCE: Eur. Pat. Appl., 85 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

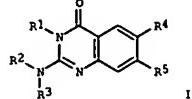
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1398032	A1	20040317	EP 2003-20417	20030910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
EP 1407774	A1	20040414	EP 2002-20255	20020910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
			EP 2002-20255	A 20020910
PRIORITY APPLN. INFO.: MARPAT 140:264510				
OTHER SOURCE(S): AB 4-Oxo-quinazoline ligands for liver X receptors (LXR receptors, LXR α /NR1 H3 and LXR β /NR1H2) acting as selective agonists of the receptor are described. The invention further relates to the treatment of diseases and/or conditions through binding of said nuclear receptors and selective agonistic effects by said compds. and the production of medicaments using said compds. In particular the compds. are useful in the treatment of hypercholesterolemia, obesity or other diseases associated with elevated lipoprotein (LDL) levels. Reporter gene methods of screening for effective agonists of the receptor are described.				
IT 671211-38-4 RU: TH (therapeutic use); BIOL (Biological study); USES (Uses) (as liver X receptor agonists; 4-oxo-quinazoline agonist ligands for liver X nuclear receptor and their use in treatment of disorders of lipid metabolism)				
RN 671211-38-4 CAPLUS				
CN 4-Piperidinecarboxylic acid, 1-[3,4-dihydro-4-oxo-3-(2-phenylethyl)-2-quinazolinyl]-, ethyl ester (9CI) (CA INDEX NAME)				



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

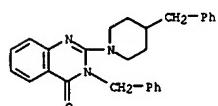
L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ACCESSION NUMBER: 2002:543605 CAPLUS
 DOCUMENT NUMBER: 138:106649
 TITLE: Solid-phase synthesis of quinazolin-4(3H)-ones with three-point diversity
 AUTHOR(S): Kesarwani, A. P.; Srivastava, G. K.; Rastogi, S. K.; Kundu, B.
 CORPORATE SOURCE: Medicinal Chemistry Division, Central Drug Research Institute, Lucknow, 226 001, India
 SOURCE: Tetrahedron Letters (2002), 43(32), 5579-5581
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 138:106649
 GI



AB A versatile method for the solid-phase synthesis of differentially substituted quinazolin-4(3H)-ones I (R1 = Et, Ph, PhCH2; R2 = Bu, R3 = Me; R2R3N = N-methyl/piperazine, 4-benzylpiperidino, morpholino; R4 = R5 = H, R4R5 = CH:CH(CH2)CH3) was developed using immobilized arylguanidines. The latter were obtained by treating the amino group of polymer-linked aminoaryl amide with isothiocyanates RNCS followed by coupling of resulting thioamides with secondary amines R3NR4. Under mild acidic conditions, these immobilized arylguanidines underwent cyclization/polymer matrix cleavage to give I in high yields and purities.

IT 485402-04-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (solid-phase synthesis of (amino)quinazolinones with three points of diversity from aminoaryl carboxylic acids, isothiocyanates, and secondary amines);
 RN: 485402-04-8 CAPLUS
 CN: 4(3H)-Quinazolinone, 3-(phenylmethyl)-2-[4-(phenylmethyl)-1-piperidinyl]- (SCI) (CA INDEX NAME)



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